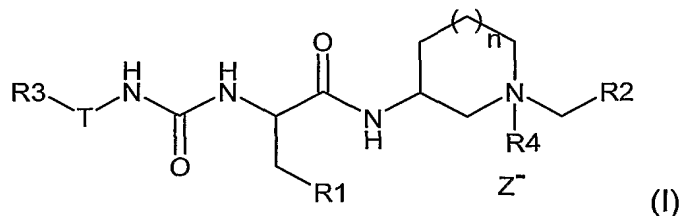


What is claimed is:

1. A compound according to Formula I herein below:



wherein

When X and Y are carbons, n is 1, 2, or 3; m is 1, 2, or 3; p is 0, 1, or 2;

When X is oxygen and Y is carbon, n is 1; m is 2; p is 1;

When X is carbon and Y is nitrogen, n is 2; m is 1; p is 2;

W is O, S, or NH;

U is NR3, O, or bond;

R3 is selected from the group consisting of hydrogen, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, unsubstituted or substituted phenyl, or unsubstituted or substituted phenyl C1-C3 lower alkyl; wherein, when substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ alkoxy, halo, hydroxy, amino, cyano, trifluoromethyl, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl and C₃-C₈ cycloalkyl lower alkyl;

q is an integer from 0 to 7;

h is 0, 1, or 2;

g is 1, 2, or 3;

V is selected from the group consisting of phenyl, thiophenyl, furanyl, pyridinyl, naphthyl, quinolinyl, indolyl, benzothiophenyl and benzofuranyl;

R4 is selected from the group consisting of hydrogen, hydroxy, amino, halo, cyano, trifluoromethyl, C₁-C₈ alkoxy, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl, phenyl C1-C3 lower alkyl, COR₆, COOR₆, CONHR₆, CON(R₆)₂, NHR₆, N(R₆)₂, and G;

k is an integer from 0 to 5;

T is selected from the group consisting of an unsubstituted or substituted following group: none, di, and tri substituted phenyl, thiophenyl, furanyl, pyridinyl, naphthyl, quinolinyl, indolyl, benzothiophenyl, pyrrole, thiozole, imidazole, pyrazole, triazole, oxazole, isoxazole, furazan, benzofuranyl, 5 isoindole, indazole, carbazole, benzimidazole. Indolizine, purine, adenine, guanine, xanthine, caffeine, uric acid, azepine, pyridine, pyridazine, pyzazine, pyrimidine, triazine, pyrimidone, uracil, cytosine, thymine, isoquinoline, phthalazine, pteridine, naphthyridine, acridine, cinnoline, phenazine, quinazoline, phenoxazine, quinoxaline, phenothiazine; wherein, when 10 substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ alkoxy, halo, hydroxy, amino, trifluoromethyl, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl and phenyl C₁-C₃ lower alkyl;

R₅ is selected from the group consisting of COOR₆, CONHR₆, COR₆, 15 CON(R₆)₂, COG, unsubstituted or substituted oxadiazolyl, unsubstituted or substituted oxazolyl, unsubstituted or substituted imidazolyl, unsubstituted or substituted phenoxy, or cyano; wherein, when substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower 20 alkyl, phenyl and phenyl C₁-C₃ lower alkyl, C₁-C₈ alkoxy, halo, hydroxy, amino, cyano and trifluoromethyl;

G is selected from the group consisting of an unsubstituted or substituted following group: pyrrolidinyl, piperidinyl, dihydroindolyl, tetrahydroquinolinyl, morpholino, azetidyl, hexahydroazepinyl, or 25 octahydroazocinyl; wherein, when substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ alkoxy, hydroxy, amino, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl and phenyl C₁-C₃ lower alkyl;

R₁ is selected from the group consisting of an unsubstituted or 30 substituted following group: hydrogen, phenyl, phenyl C₁-C₆ lower alkyl, thiophenyl, thiophenyl C₁-C₆ lower alkyl, furanyl, furanyl C₁-C₆ lower alkyl,

pyridinyl, pyridinyl C1-C6 lower alkyl, imidazolyl, imidazolyl C1-C6 lower alkyl, naphthyl, naphthyl C1-C6 lower alkyl, quinolinyl, quinolinyl C1-C6 lower alkyl, indolyl, indolyl C1-C6 lower alkyl, benzothiophenyl, benzothiophenyl C1-C6 lower alkyl, benzofuranyl, benzofuranyl C1-C6 lower alkyl, benzoimidazolyl, benzoimidazolyl C1-C6 lower alkyl, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl C₁-C₆ lower alkyl, or C₃-C₈ alkenyl; wherein, when substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ alkoxy, phenoxy, phenyl C₁-C₃ alkoxy, halo, hydroxy, amino, cyano, trifluoromethyl, methylenedioxy, ethylenedioxy, propylenedioxy, butylenedioxy, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl, phenyl C1-C3 lower alkyl, thiophenyl, thiophenyl C1-C3 lower alkyl, furanyl, furanyl C1-C3 lower alkyl, pyridinyl, pyridinyl C1-C3 lower alkyl, naphthyl, naphthyl C1-C3 lower alkyl, quinolinyl, quinolinyl C1-C3 lower alkyl, indolyl, indolyl C1-C3 lower alkyl, benzothiophenyl, benzothiophenyl C1-C3 lower alkyl, benzofuranyl, benzofuranyl C1-C3 lower alkyl, COOH, COR₆, COOR₆, CONHR₆, CON(R₆)₂, COG, NHR₆, N(R₆)₂, G, OCOR₆, OCONHR₆, NHCOR₆, N(R₆)COR₆, NHCOOR₆ and NHCONHR₆;

or a pharmaceutically acceptable salt.

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2. A compound according to claim 1 consisting of the group selected from:

When X and Y are carbons, n is 1, or 2; m is 1, 2, or 3; p is 0, or 1;

When X is oxygen and Y is carbon, n is 1; m is 2; p is 1;

When X is carbon and Y is nitrogen, n is 2; m is 1; p is 2;

25

W is O;

U is NR₃;

R₃ is selected from the group consisting of hydrogen, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, or phenyl C1-C3 lower alkyl;

30

q is 0;

h is 0;

g is 1;

V is selected from the group consisting of phenyl, thiophenyl, furanyl, naphthyl, benzothiophenyl and benzofuranyl;

R4 is selected from the group consisting of hydrogen, hydroxy, amino, halo, cyano, trifluoromethyl, C₁-C₈ alkoxy, C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl, phenyl C1-C3 lower alkyl, phenylcarbonyl;

k is an integer from 1 to 5;

T is selected from the group consisting of an unsubstituted or substituted following group: none, di, and tri substituted phenyl, thiophenyl, furanyl, pyridinyl, naphthyl, quinolinyl, indolyl, benzothiophenyl, pyrrole, thiozole, imidazole, pyrazole, triazole, oxazole, isoxazole, furazan, benzofuranyl, isoindole, indazole, carbazole, benzimidazole. Indolizine, purine, adenine, guanine, xanthine, caffeine, uric acid, azepine, pyridine, pyridazine, pyzazine, pyrimidine, triazine, pyrimidone, uracil, cytosine, thymine, isoquinoline, phthalazine, pteridine, naphthyridine, acridine, cinnoline, phenazine, quinazoline, phenoxazine, quinoxaline, phenothiazine; wherein, when substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ alkoxy, halo, hydroxy, amino, trifluoromethyl, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl and phenyl C1-C3 lower alkyl;

R5 is selected from the group consisting of COOR₆, CONHR₆, COR₆, CON(R₆)₂, COG, unsubstituted or substituted oxadiazolyl, unsubstituted or substituted phenoxy, or cyano; wherein, when substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl, phenyl C1-C3 lower alkyl and trifluoromethyl;

G is selected from the group consisting of pyrrolidinyl, piperidinyl, dihydroindolyl, tetrahydroquinolinyl, morpholino, azetidyl, hexahydroazepinyl, and octahydroazocinyl;

R1 is selected from the group consisting of an unsubstituted or substituted following group: phenyl C1-C6 lower alkyl, thiophenyl C1-C6 lower

- alkyl, furanyl C1-C6 lower alkyl, pyridinyl C1-C6 lower alkyl, imidazolyl C1-C6 lower alkyl, naphthyl C1-C6 lower alkyl, quinolinyl C1-C6 lower alkyl, indolyl C1-C6 lower alkyl, benzothiophenyl C1-C6 lower alkyl, benzofuranyl C1-C6 lower alkyl, benzoimidazolyl C1-C6 lower alkyl, C₁-C₈ branched or unbranched
- 5 alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl C₁-C₆ lower alkyl, or C₃-C₈ alkenyl; wherein, when substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ alkoxy, phenoxy, phenyl C₁-C₃ alkoxy, halo, hydroxy, amino, cyano, trifluoromethyl, methylenedioxy, ethylenedioxy, propylenedioxy, butylenedioxy, C₁-C₈ branched or unbranched
- 10 alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl, phenyl C1-C3 lower alkyl, thiophenyl, thiophenyl C1-C3 lower alkyl, furanyl, furanyl C1-C3 lower alkyl, pyridinyl, pyridinyl C1-C3 lower alkyl, naphthyl, naphthyl C1-C3 lower alkyl, quinolinyl, quinolinyl C1-C3 lower alkyl, indolyl, indolyl C1-C3 lower alkyl, benzothiophenyl, benzothiophenyl C1-C3 lower alkyl, benzofuranyl,
- 15 benzofuranyl C1-C3 lower alkyl, COOH, COR₆, COOR₆, CONHR₆, CON(R₆)₂, COG, NHR₆, N(R₆)₂, G, OCOR₆, OCONHR₆, NHCOR₆, N(R₆)COR₆, NHCOOR₆ and NHCONHR₆;
or a pharmaceutically acceptable salt.

- 20 3. A compound according to claim 1 consisting of the group selected from:
X and Y are carbons;
n is 1, or 2;
m is 1, 2, or 3;
p is 0, or 1;
- 25 W is O;
U is NR₃;
R₃ is hydrogen;
q is 0;
h is 0;
- 30 g is 1;
V is selected from the group consisting of phenyl, or naphthyl;

R4 is selected from the group consisting of hydroxy, amino, halo, cyano, trifluoromethyl, C₁-C₈ alkoxy, C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl, phenyl C1-C3 lower alkyl, phenylcarbonyl;

k is 1, 2, or 3;

5 T is selected from the group consisting of an unsubstituted or substituted following group: none, di, and tri substituted phenyl, thiophenyl, furanyl, pyridinyl, naphthyl, quinolinyl, indolyl, benzothiophenyl, pyrrole, thiazole, imidazole, pyrazole, triazole, oxazole, isoxazole, furazan, benzofuranyl, isoindole, indazole, carbazole, benzimidazole. Indolizine, purine, adenine,
10 guanine, xanthine, caffeine, uric acid, azepine, pyridine, pyridazine, pyzazine, pyrimidine, triazine, pyrimidone, uracil, cytosine, thymine, isoquinoline, phthalazine, pteridine, naphthyridine, acridine, cinnoline, phenazine, quinazoline, phenoxazine, quinoxaline, phenothiazine; wherein, when substituted, a group is substituted by one or more radicals selected from the
15 group consisting of C₁-C₈ alkoxy, halo, hydroxy, amino, trifluoromethyl, C₁-C₈ branched or unbranched alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl and phenyl C1-C3 lower alkyl;

R5 is selected from the group consisting of COOR₆, CONHR₆, COR₆, CON(R₆)₂, COG, unsubstituted or substituted oxadiazolyl; wherein, when
20 substituted, a group is substituted by one or more radicals selected from the group consisting of C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl and phenyl C1-C3 lower alkyl;

G is selected from the group consisting of pyrrolidinyl, piperidinyl, dihydroindolyl, tetrahydroquinolinyl, morpholino, azetidyl, hexahydroazepinyl,
25 and octahydroazocinyl;

R1 is selected from the group consisting of an unsubstituted or substituted following group: phenyl C1-C6 lower alkyl, thiophenyl C1-C6 lower alkyl, furanyl C1-C6 lower alkyl, pyridinyl C1-C6 lower alkyl, imidazolyl C1-C6 lower alkyl, naphthyl C1-C6 lower alkyl, quinolinyl C1-C6 lower alkyl, indolyl
30 C1-C6 lower alkyl, benzothiophenyl C1-C6 lower alkyl, benzofuranyl C1-C6 lower alkyl, benzoimidazolyl C1-C6 lower alkyl, C₁-C₈ branched or unbranched

alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl C₁-C₆ lower alkyl, or C₃-C₈ alkenyl;
 wherein, when substituted, a group is substituted by one or more radicals
 selected from the group consisting of C₁-C₈ alkoxy, phenoxy, phenyl C₁-C₃
 alkoxy, halo, hydroxy, amino, cyano, trifluoromethyl, methylenedioxy,
 5 ethylenedioxy, propylenedioxy, butylenedioxy, C₁-C₈ branched or unbranched
 alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl lower alkyl, phenyl, phenyl C₁-C₃
 lower alkyl, thiophenyl, thiophenyl C₁-C₃ lower alkyl, furanyl, furanyl C₁-C₃
 lower alkyl, pyridinyl, pyridinyl C₁-C₃ lower alkyl, naphthyl, naphthyl C₁-C₃
 lower alkyl, quinolinyl, quinolinyl C₁-C₃ lower alkyl, indolyl, indolyl C₁-C₃ lower
 10 alkyl, benzothiophenyl, benzothiophenyl C₁-C₃ lower alkyl, benzofuranyl,
 benzofuranyl C₁-C₃ lower alkyl, COOH, COR₆, COOR₆, CONHR₆, CON(R₆)₂,
 COG, NHR₆, N(R₆)₂, G, OCOR₆ and NHCOR₆;

or a pharmaceutically acceptable salt.

4. A compound according to claim 1 selected from the group consisting of:

15

N-{(3*S*)-1-[(3-hydroxyphenyl)methyl]-1-methyl-3-piperidiniumyl}-*N*-[({5-
 [(methyloxy)carbonyl]-2-furanyl}amino)carbonyl]-L-tyrosinamide trifluoroacetate;
N-[({4-[(ethyloxy)carbonyl]-1,3-oxazol-2-yl}amino)carbonyl]-*N*-{(3*S*)-1-[(3-
 hydroxyphenyl)methyl]-1-methyl-3-piperidiniumyl}-L-tyrosinamide

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trifluoroacetate;
N-{(3*S*)-1-[(3-hydroxyphenyl)methyl]-1-methyl-3-piperidiniumyl}-*N*-[({4-methyl-
 5-[(methyloxy)carbonyl]-4*H*-1,2,4-triazol-3-yl}amino)carbonyl]-L-tyrosinamide
 trifluoroacetate;

N-[({4-[(ethyloxy)carbonyl]-1,3-thiazol-2-yl}amino)carbonyl]-*N*-{(3*S*)-1-[(3-
 25 hydroxyphenyl)methyl]-1-methyl-3-piperidiniumyl}-L-tyrosinamide
 trifluoroacetate;

N-[({4-[(ethyloxy)carbonyl]cyclohexyl}amino)carbonyl]-*N*-{(3*S*)-1-[(3-
 hydroxyphenyl)methyl]-1-methyl-3-piperidiniumyl}-L-tyrosinamide
 trifluoroacetate;

30

or a pharmaceutically acceptable salt.

5. A compound according to claim 1 selected from the group consisting of:
N-[({5-[(ethyloxy)carbonyl]-1-methyl-1*H*-pyrrol-3-yl}amino)carbonyl]-*N*-{(3*S*)-1-[(3-hydroxyphenyl)methyl]-1-methyl-3-piperidiniumyl}-*L*-tyrosinamide trifluoroacetate;
- 5 *N*-{(3*S*)-1-[(3-hydroxyphenyl)methyl]-1-methyl-3-piperidiniumyl}-*N*-[({1-methyl-5-[(methyloxy)carbonyl]-1*H*-pyrrol-3-yl}amino)carbonyl]-*L*-tyrosinamide trifluoroacetate;
N-{(3*S*)-1-[(3-hydroxyphenyl)methyl]-1-methyl-3-piperidiniumyl}-*N*-[({5-[(methyloxy)carbonyl]-1,3-thiazol-2-yl}amino)carbonyl]-*L*-tyrosinamide
- 10 trifluoroacetate;
or a pharmaceutically acceptable salt.
6. A pharmaceutical composition for the treatment of muscarinic acetylcholine receptor mediated diseases comprising a compound according to
- 15 claim 1 and a pharmaceutically acceptable carrier thereof.
7. A method of inhibiting the binding of acetylcholine to its receptors in a mammal in need thereof comprising administering a safe and effective amount of a compound according to claim 1.
- 20
8. A method of treating a muscarinic acetylcholine receptor mediated disease, wherein acetylcholine binds to said receptor, comprising administering a safe and effective amount of a compound according to claim 1.
- 25 9. A method according to claim 8 wherein the disease is selected from the group consisting of chronic obstructive lung disease, chronic bronchitis, asthma, chronic respiratory obstruction, pulmonary fibrosis, pulmonary emphysema and allergic rhinitis.
- 30 10. A method according to claim 9 wherein administration is via inhalation via the mouth or nose.

11. A method according to claim 10 wherein administration is via a medicament dispenser selected from a reservoir dry powder inhaler, a multi-dose dry powder inhaler or a metered dose inhaler.
- 5 12. A method according to claim 11 wherein the compound is administered to a human and has a duration of action of 12 hours or more for a 1 mg dose.
13. A method according to claim 12 wherein the compound has a duration of action of 24 hours or more.
- 10 14. A method according to claim 13 wherein the compound has a duration of action of 36 hours or more.